


PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Patent No. : 7,241,747	)	<u>CERTIFICATE OF ELECTRONIC</u>
Applicant : Hector F. DeLuca et al	)	<u>SUBMISSION</u>
	)	
Filed : April 9, 2004	)	I hereby certify that this correspondence is
Title : 2-Propylidene-19-Nor-	)	being submitted electronically with the
Vitamin D Compounds	)	United States Patent and Trademark Office's
	)	electronic filing system (EFS Web) on this
TC/A.U. : 1616	)	29 <sup>th</sup> day of August, 2007.
Examiner : Qazi, Sabiha Naim	)	
	)	
Docket No. : 1256-00946	)	 8-29-07
	)	Marie Mikolainis Date

REQUEST FOR CERTIFICATE OF CORRECTION

Certificate of Correction Branch  
Commissioner of Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

Upon receipt of the original patent document, the face and claims were proofread and the following printing errors were noted. A Certificate of Correction, according to the enclosed form, is therefore requested, as follows:

In the Claims:

CLAIM 20  
Col. 47, Line 59  
(Claim 20, Line 1)  
Amend. 02/06/2007

Delete "The" and substitute  
therefor --- A ---

CLAIM 21  
Col. 47, Line 67  
(Claim 21, Line 3)  
Amend. 02/06/2007

After "gram" insert --- of ---

CLAIM 28  
Col. 48, Line 23  
(Claim 28, Line 3)  
Amend. 02/06/2007

Delete "g" and substitute  
therefor --- µg ---

Patent No. 7,241,747

Applicant: Hector F. DeLuca et al

Request for Certificate of Correction dated August 29, 2007

CLAIM 79  
Col. 55, Line 39  
(Claim 85, Line 24)  
Amend. 02/06/2007

Delete " $-CR_1R_2-$ " and substitute  
therefor  $---(CR_1R_2)---$

CLAIM 92  
Col. 56, Line 44  
(Claim 98, Line 9)  
Amend. 02/06/2007

Delete " $-C\equiv CY$ " and substitute  
therefor  $---C\equiv CY---$

CLAIM 92  
Col. 57, Line 7  
(Claim 98, Line 24)  
Amend. 02/06/2007

Delete " $-CR_1R_2-$ " and substitute  
therefor  $---(CR_1R_2)---$

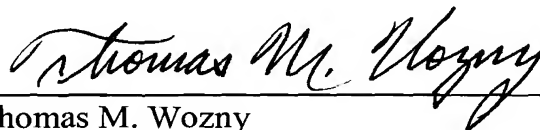
### Remarks

The errors noted in the Inventors section and claims are printing errors made by the Patent Office and correction is desired for clarification purposes when reading the patent.

Issuance and entry of the enclosed Certificate of Correction is respectfully requested.

Respectfully submitted,

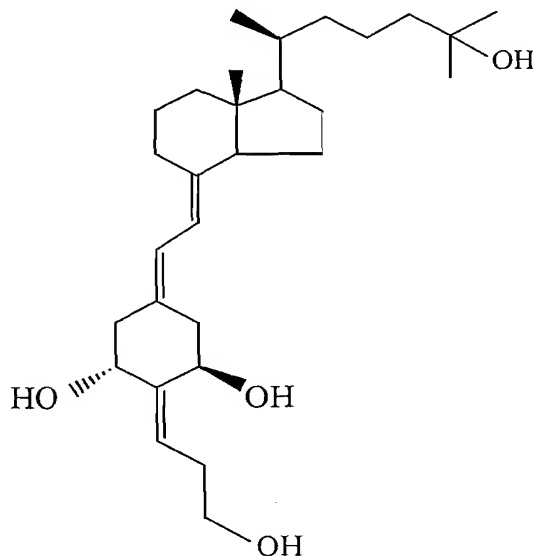
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16. (Original) 2-(3'-hydroxypropylidene)-19-nor-(20S)-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (Z-isomer) having the formula:



17. (Original) A pharmaceutical composition containing an effective amount of at least one compound as claimed in claim 1 together with a pharmaceutically acceptable excipient.

18. (Original) The pharmaceutical composition of claim 17 wherein said effective amount comprises from about 0.01 $\mu$ g to about 100 $\mu$ g per gram of composition.

19. (Original) The pharmaceutical composition of claim 17 wherein said effective amount comprises from about 0.1 $\mu$ g to about 50 $\mu$ g per gram of composition.

20. (Previously Presented) A pharmaceutical composition containing 2-[(3'-methoxymethoxy)propylidene]-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> in an amount from about 0.01 $\mu$ g to about 100 $\mu$ g per gram of composition.

21. (Previously Presented) The pharmaceutical composition of claim 20 containing 2-[(3'-methoxymethoxy)propylidene]-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> in an amount from about 0.1 $\mu$ g to about 50 $\mu$ g per gram of composition.

22. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (E-isomer) in an amount from about 0.01 $\mu$ g to about 100 $\mu$ g.

23. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (E-isomer) in an amount from about 0.1 $\mu$ g to about 50 $\mu$ g.

24. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (Z-isomer) in an amount from about 0.01 $\mu$ g to about 100 $\mu$ g.

25. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (Z-isomer) in an amount from about 0.1 $\mu$ g to about 50 $\mu$ g.

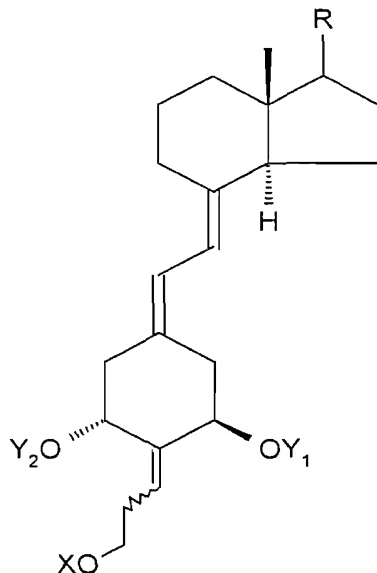
26. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-(20S)-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (E-isomer) in an amount from about 0.01 $\mu$ g to about 100 $\mu$ g.

27. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-(20S)-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (E-isomer) in an amount from about 0.1 $\mu$ g to about 50 $\mu$ g.

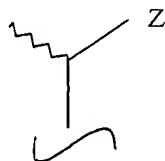
28. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-(20S)-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (Z-isomer) in an amount from about 0.01 $\mu$ g to about 100 $\mu$ g.

29. (Original) The pharmaceutical composition of claim 17 containing 2-(3'-hydroxypropylidene)-19-nor-(20S)-1 $\alpha$ ,25-(OH)<sub>2</sub>D<sub>3</sub> (Z-isomer) in an amount from about 0.1 $\mu$ g to about 50 $\mu$ g.

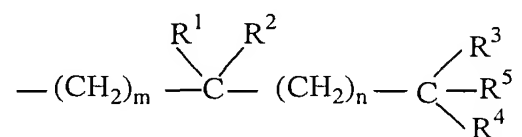
85. (Withdrawn) A method of treating an autoimmune disease comprising administering to a patient with said disease an effective amount of a compound having the formula



where Y<sub>1</sub> and Y<sub>2</sub> which may be the same or different, are each selected from the group consisting of hydrogen and a hydroxy-protecting group, where X may be an alkyl, hydrogen, hydroxy-protecting group, hydroxyalkyl, alkoxyalkyl and aryloxyalkyl, and where the group R is represented by the structure:



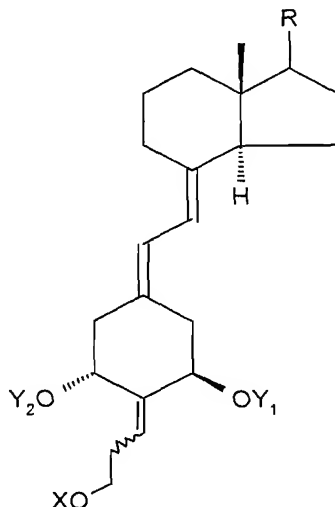
where the stereochemical center at carbon 20 may have the R or S configuration, and where Z is selected from Y, -OY, -CH<sub>2</sub>OY, -C≡CY and -CH=CHY, where the double bond may have the cis or trans geometry, and where Y is selected from hydrogen, methyl, -COR<sup>5</sup> and a radical of the structure:



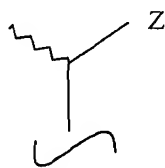
where m and n, independently, represent the integers from 0 to 5, where R<sup>1</sup> is selected from hydrogen, deuterium, hydroxy, protected hydroxy, fluoro, trifluoromethyl, and C<sub>1-5</sub>-alkyl, which may be straight chain or branched and, optionally, bear a hydroxy or protected-hydroxy substituent, and where each of R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup>, independently, is selected from deuterium, deutoalkyl, hydrogen, fluoro, trifluoromethyl and C<sub>1-5</sub> alkyl, which may be straight-chain or branched, and optionally, bear a hydroxy or protected-hydroxy substituent, and where R<sup>1</sup> and R<sup>2</sup>, taken together, represent an oxo group, or an alkylidene group, =CR<sup>2</sup>R<sup>3</sup>, or the group -(CH<sub>2</sub>)<sub>p</sub>-, where p is an integer from 2 to 5, and where R<sup>3</sup> and R<sup>4</sup>, taken together, represent an oxo group, or the group -(CH<sub>2</sub>)<sub>q</sub>-, where q is an integer from 2 to 5, and where R<sup>5</sup> represents hydrogen, hydroxy, protected hydroxy, or C<sub>1-5</sub> alkyl and wherein any of the CH-groups at positions 20, 22, or 23 in the side chain may be replaced by a nitrogen atom, or where any of the groups -CH(CH<sub>3</sub>)-, -(CH<sub>2</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>n</sub>-, or -(CR<sub>1</sub>R<sub>2</sub>)- at positions 20, 22, and 23, respectively, may be replaced by an oxygen or sulfur atom.

86. (Withdrawn) The method of claim 85 where the disease is multiple sclerosis.

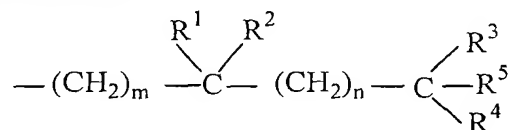
98. (Withdrawn) A method of treating an inflammatory bowel disease comprising administering to a patient with said disease an effective amount of a compound having the formula



where  $Y_1$  and  $Y_2$  which the same or different, are each selected from the group consisting of hydrogen and a hydroxy-protecting group, where X may be an alkyl, hydrogen, hydroxy-protecting group, hydroxyalkyl, alkoxyalkyl and aryloxyalkyl, and where the group R is represented by the structure:



where the stereochemical center at carbon 20 may have the R or S configuration, and where Z is selected from Y, -OY, -CH<sub>2</sub>OY, -C≡CY and -CH=CHY, where the double bond may have the cis or trans geometry, and where Y is selected from hydrogen, methyl, -COR<sup>5</sup> and a radical of the structure:



where m and n, independently, represent the integers from 0 to 5, where  $R^1$  is selected from hydrogen, deuterium, hydroxy, protected hydroxy, fluoro, trifluoromethyl, and  $C_{1-5}$ -alkyl, which may be straight chain or branched and, optionally, bear a hydroxy or protected-hydroxy substituent, and where each of  $R^2$ ,  $R^3$ , and  $R^4$ , independently, is selected from deuterium, deuterioalkyl, hydrogen, fluoro, trifluoromethyl and  $C_{1-5}$  alkyl, which may be straight-chain or branched, and optionally, bear a hydroxy or protected-hydroxy substituent, and where  $R^1$  and  $R^2$ , taken together, represent an oxo group, or an alkylidene group,  $=CR^2R^3$ , or the group  $-(CH_2)_p-$ , where p is an integer from 2 to 5, and where  $R^3$  and  $R^4$ , taken together, represent an oxo group, or the group  $-(CH_2)_q-$ , where q is an integer from 2 to 5, and where  $R^5$  represents hydrogen, hydroxy, protected hydroxy, or  $C_{1-5}$  alkyl and wherein any of the CH-groups at positions 20, 22, or 23 in the side chain may be replaced by a nitrogen atom, or where any of the groups  $-\text{CH}(\text{CH}_3)-$ ,  $-(\text{CH}_2)_m-$ ,  $-(\text{CH}_2)_n-$ , or  $-(\text{CR}_1\text{R}_2)-$  at positions 20, 22, and 23, respectively, may be replaced by an oxygen or sulfur atom.

99. (Withdrawn) The method of claim 98 wherein the disease is Crohn's disease.

100. (Withdrawn) The method of claim 98 wherein the disease is ulcerative colitis.

101. (Withdrawn) The method of claim 98 wherein the compound is administered orally.

102. (Withdrawn) The method of claim 98 wherein the compound is administered parenterally.

103. (Withdrawn) The method of claim 98 wherein the compound is administered transdermally.

104. (Withdrawn) The method of claim 98 wherein the compound is administered in a dosage of from about 0.01  $\mu\text{g/day}$  to about 100  $\mu\text{g/day}$ .



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(Also Form PTO-1050)

**UNITED STATES PATENT AND TRADEMARK OFFICE**  
**CERTIFICATE OF CORRECTION**

Page 1 of 1

PATENT NO: 7,241,747  
APPLICATION NO.: 10/821,479  
ISSUE DATE: July 10, 2007  
INVENTOR(S): Hector F. DeLuca et al

It is certified that error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

**In the Claims:**

CLAIM 20  
Col. 47, Line 59  
(Claim 20, Line 1)  
Amend. 02/06/2007

Delete "The" and substitute therefore:  
--- A ---

CLAIM 21  
Col. 47, Line 67  
(Claim 21, Line 3)  
Amend. 02/06/2007

After "gram" insert  
--- of ---

CLAIM 28  
Col. 48, Line 23  
(Claim 28, Line 3)  
Amend. 02/06/2007

Delete "g" and substitute therefore:  
---  $\mu\text{g}$  ---

CLAIM 79  
Col. 55, Line 39  
(Claim 85, Line 24)  
Amend. 02/06/2007

Delete " $-\text{CR}_1\text{R}_2-$ " and substitute therefore:  
---  $-(\text{CR}_1\text{R}_2)-$  ---

CLAIM 92  
Col. 56, Line 44  
(Claim 98, Line 9)  
Amend. 02/06/2007

Delete " $-\text{C}\equiv\text{CY}$ " and substitute therefore:  
---  $-\text{C}\equiv\text{CY}$  ---

CLAIM 92  
Col. 57, Line 7  
(Claim 98, Line 24)  
Amend. 02/06/2007

Delete " $-\text{CR}_1\text{R}_2-$ " and substitute therefore:  
---  $-(\text{CR}_1\text{R}_2)-$  ---

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